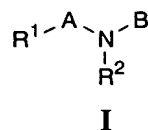


CLAIMS

We claim:

- 5 1. A compound of Formula I, or a pharmaceutically acceptable salt or solvate thereof,



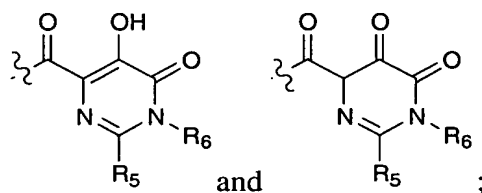
wherein

10

A is methylene or 1,1-disubstituted ethylene;

B is selected from the group consisting of

15



$\text{R}^1$  is phenyl, pyridinyl or dioxolanylphenyl and is unsubstituted or substituted with 1 to 3  $\text{R}^3$ ;

20

$\text{R}^2$  is hydrogen, methyl, or  $\text{OR}^4$ ;

$\text{R}^3$  is independently selected from halo,  $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-2}$  perfluoroalkyl,  $\text{C}_{1-6}$ alkoxy,  $\text{C}_{1-6}$ alkylthioxy, and cyano;

25

$\text{R}^4$  is hydrogen or  $\text{C}_{1-6}$ alkyl;

$\text{R}^5$  is  $\text{Ar}^1$  or  $\text{Ar}^2$ ;

R<sup>6</sup> is C<sub>1-6</sub>alkyl;

Ar<sup>1</sup> is phenyl substituted with 1-2 substituents selected from halo, C<sub>1-6</sub>alkoxy, CO<sub>2</sub>R<sup>4</sup>, N(R<sup>4</sup>)(SO<sub>2</sub>C<sub>1-6</sub>alkyl), SO<sub>2</sub>N(C<sub>1-6</sub>alkyl)<sub>2</sub>, and dioxothiazinanyl;

5

Ar<sup>2</sup> is a heteroaryl moiety selected from the group consisting of pyridinyl, pyrrolyl, furanyl, and imidazopyrimidinyl and is unsubstituted or substituted with 1-2 substituents selected from halo, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, CO<sub>2</sub>R<sup>4</sup>, N(R<sup>4</sup>)(SO<sub>2</sub>C<sub>1-6</sub>alkyl), SO<sub>2</sub>N(C<sub>1-6</sub>alkyl)<sub>2</sub>, N(R<sup>7</sup>)<sub>2</sub>, and dioxothiazinanyl; and

10

R<sup>7</sup> is hydrogen, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylOR<sup>4</sup>.

2. A compound of claim 1 wherein R<sup>1</sup> is phenyl unsubstituted or substituted with 1 to 3 R<sup>3</sup>.

15

3. A compound of claim 2 where R<sup>1</sup> is phenyl substituted with 1-2 R<sup>3</sup> selected from the group consisting of chloro, fluoro, methyl, and trifluoromethyl.

4. A compound of claim 1 where R<sup>2</sup> is hydrogen.

20

5. A compound of claim 1 where R<sup>5</sup> is Ar<sup>1</sup>.

6. A compound of claim 5 where Ar<sup>1</sup> is phenyl substituted with 1-2 R<sup>3</sup> selected from the group consisting of chloro, fluoro, methoxy, N(Me)SO<sub>2</sub>Me, SO<sub>2</sub>N(Me)<sub>2</sub>, SO<sub>2</sub>Me, and dioxothiazinanyl.

25

7. A compound of claim 5 selected from the group consisting of

N-(4-fluorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

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N-(3,4-dichlorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-difluorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(4-chlorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-methylbenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 N-(3,4-dimethylbenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

15 N-(3-chloro-4-methylbenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(2,4-difluorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(2-methylbenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluoro-2-(trifluoromethyl)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(4-(trifluoromethyl)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(benzo[d][1,3]dioxol-5-ylmethyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-N-(1-phenylethyl)-1,6-dihydropyrimidine-4-carboxamide;

N-(2-(methylthio)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3-fluoro-4-(trifluoromethyl)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,5-bis(trifluoromethyl)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-N-(pyridin-2-ylmethyl)-1,6-dihydropyrimidine-4-carboxamide;

15 N-(3,4-dichlorobenzyl)-5-hydroxy-2-(2-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-2-(2-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(3,4-dimethylbenzyl)-5-hydroxy-2-(2-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-2-(2-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(4-fluorobenzyl)-2-(4-fluoro-2-(methylsulfonyl)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(3,4-dichlorobenzyl)-2-(4-fluoro-2-(methylsulfonyl)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-2-(4-fluoro-2-(methylsulfonyl)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-2-(2-fluoro-6-(N-methylmethan-3-ylsulfonamido)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3,4-dichlorobenzyl)-2-(2-fluoro-6-(N-methylmethan-3-ylsulfonamido)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 N-(3-chloro-4-methylbenzyl)-2-(2-fluoro-6-(N-methylmethan-3-ylsulfonamido)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-2-(2,6-dimethoxyphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

15 N-(3,4-dichlorobenzyl)-2-(2,6-dimethoxyphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-2-(2,6-dimethoxyphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(3-chloro-4-methylbenzyl)-2-(2,6-dimethoxyphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(4-fluorobenzyl)-5-hydroxy-1-methyl-2-(2-(1,1-Dioxo-1 $\lambda^6$ -[1,2]thiazinan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-5-hydroxy-1-methyl-2-(2-(1,1-Dioxo-1 $\lambda^6$ -[1,2]thiazinan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(3,4-dimethylbenzyl)-5-hydroxy-1-methyl-2-(2-(1,1-Dioxo-1 $\lambda^6$ -[1,2]thiazinan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-2-(2-(1,1-Dioxo-1 $\lambda^6$ -  
[1,2]thiazinan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-2-(2-morpholinophenyl)-6-oxo-  
1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-2-(2-dimethylsulfamoylphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-  
dihydropyrimidine-4-carboxamide;

10 N-(3,4-dichlorobenzyl)-2-(2-dimethylsulfamoylphenyl)-5-hydroxy-1-methyl-6-oxo-  
1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-2-(2-dimethylsulfamoylphenyl)-5-hydroxy-1-methyl-6-oxo-  
1,6-dihydropyrimidine-4-carboxamide;

15 N-(3-chloro-4-methylbenzyl)-2-(2-dimethylsulfamoylphenyl)-5-hydroxy-1-methyl-6-  
oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(4-fluorobenzyl)-5-hydroxy-2-(3-methoxyphenyl)-1-methyl-6-oxo-1,6-  
dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-5-hydroxy-2-(3-methoxyphenyl)-1-methyl-6-oxo-1,6-  
dihydropyrimidine-4-carboxamide;

25 N-(3,4-dimethylbenzyl)-5-hydroxy-2-(3-methoxyphenyl)-1-methyl-6-oxo-1,6-  
dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-2-(4-methoxyphenyl)-1-methyl-6-oxo-1,6-  
dihydropyrimidine-4-carboxamide;

30 N-(3,4-dichlorobenzyl)-5-hydroxy-2-(4-methoxyphenyl)-1-methyl-6-oxo-1,6-  
dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-5-hydroxy-2-(4-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 2-(4-((4-fluorobenzyl)carbamoyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidin-2-yl)benzoic acid;

2-(4-((3,4-dichlorobenzyl)carbamoyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidin-2-yl)benzoic acid; and

10 2-(4-methylaminicarbonyl-phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxylic acid 4-fluorobenzylamide; and

or a pharmaceutically acceptable salt or solvate thereof.

15 8. A compound of claim 1 where  $R^5$  is  $Ar^2$ .

9. A compound of claim 8 where  $Ar^2$  is substituted with 1-2 substituents selected from the group consisting of chloro, fluoro, methyl, methoxy, dimethylamino, 2-hydroxyethylamino, di(2-hydroxyethyl)amino,  $N(Me)SO_2Me$ ,  
20  $SO_2N(Me)_2$ ,  $SO_2Me$ , and dioxothiazinanyl.

10. A compound of claim 8 selected from the group consisting of

25 N-(4-chlorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

30 N-(3,4-difluorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(4-methylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3,4-dimethylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

10 N-(4-fluorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

15 N-(4-fluorobenzyl)-5-hydroxy-2-(3-[2-(1,1-Dioxo-1 $\lambda^6$ -[1,2]thiazinan-2-yl)-pyridin-2-yl])-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-5-hydroxy-2-(3-[2-(1,1-Dioxo-1 $\lambda^6$ -[1,2]thiazinan-2-yl)-pyridin-2-yl])-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(3,4-dimethylbenzyl)-5-hydroxy-2-(3-[2-(1,1-Dioxo-1 $\lambda^6$ -[1,2]thiazinan-2-yl)-pyridin-2-yl])-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-2-(3-[2-(1,1-Dioxo-1 $\lambda^6$ -[1,2]thiazinan-2-yl)-pyridin-2-yl])-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(4-fluorobenzyl)-5-hydroxy-2-(6-methoxypyridin-3-yl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(3,4-dichlorobenzyl)-5-hydroxy-2-(6-methoxypyridin-3-yl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-5-hydroxy-2-(6-methoxypyridin-3-yl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;



N-(4-fluorobenzyl)-5-hydroxy-2-(3-methoxypyridin-2-yl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(4-fluorobenzyl)-2-(3-(dimethylamino)pyridin-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-2-(3-(dimethylamino)pyridin-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 N-(3,4-dimethylbenzyl)-2-(3-(dimethylamino)pyridin-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-2-(3-(dimethylamino)pyridin-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

15

N-(4-fluorobenzyl)-2-(furan-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-2-(furan-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20

N-(3,4-dimethylbenzyl)-2-(furan-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(3-chloro-4-methylbenzyl)-2-(furan-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-3-yl)-1,6-dihydropyrimidine-4-carboxamide;

30

N-(3,4-dichlorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-3-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-3-yl)-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-3-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-4-yl)-1,6-dihydropyrimidine-4-carboxamide;

10 N-(3,4-dichlorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-4-yl)-1,6-dihydropyrimidine-4-carboxamide;

15 N-(3,4-dimethylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-4-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-4-yl)-1,6-dihydropyrimidine-4-carboxamide;

20 N-(4-fluorobenzyl)-2-(1,5-dimethyl-1H-pyrrol-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-2-(1,5-dimethyl-1H-pyrrol-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(3,4-dimethylbenzyl)-2-(1,5-dimethyl-1H-pyrrol-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-2-(1,5-dimethyl-1H-pyrrol-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(4-fluorobenzyl)-2-(2,4-dimethylimidazo[1,5-a]pyrimidin-8-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-2-(2,4-dimethylimidazo[1,5-a]pyrimidin-8-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3,4-methylbenzyl)-2-(2,4-dimethylimidazo[1,5-a]pyrimidin-8-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide; and

N-(3-chloro-4-methylbenzyl)-2-(2,4-dimethylimidazo[1,5-a]pyrimidin-8-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 or a pharmaceutically acceptable salt or solvate thereof.

11. A compound of claim 1 where R<sup>6</sup> is methyl.

12. A composition useful for treating HIV infections comprising a therapeutic  
15 amount of a compound of claim 1, or a salt or solvate thereof, and a pharmaceutically acceptable carrier.

13. A method of inhibiting HIV integrase which comprises  
administering to a patient a therapeutically effective amount of a compound of Claim  
20 1, or a pharmaceutically acceptable salt or solvate thereof.

14. A method of treating HIV infection in a patient comprising the administration  
of a therapeutically effective amount of a compound of Claim 1, or a  
pharmaceutically acceptable salt or solvate thereof.

25 15. A method of treating HIV infection in a patient comprising administering a therapeutically effective amount of a compound of claim 1 with at least one other agent for treating HIV selected from the group consisting of HIV reverse transcriptase inhibitors, non-nucleoside HIV reverse transcriptase inhibitors, HIV  
30 protease inhibitors, HIV fusion inhibitors, HIV attachment inhibitors, CCR5 inhibitors, CXCR4 inhibitors, HIV budding or maturation inhibitors, and HIV integrase inhibitors.